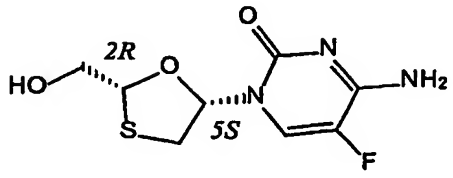
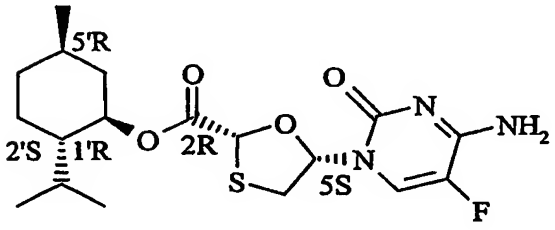
CLAIMS

1. Process for preparing emtricitabine of formula



(Ia)

which comprises the salification reaction of the intermediate compound of formula



(XIa)

dissolved in a suitable solvent, by treatment with organic or mineral acids to give the corresponding salt.

2. Process according to Claim 1, characterized in that the intermediate compound of formula XIa is dissolved in a suitable solvent by treatment with organic or mineral acids to give the corresponding salt in readily isolable solid form.

3. Process according to Claim 1, characterized in that the solvent is chosen from alcohols, hydrocarbons, esters, ethers and chlorinated solvents, or mixtures thereof.

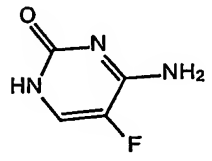
4. Process according to Claim 3, characterized in that the solvent is chosen from methanol, ethanol, isopropanol, toluene, ethyl acetate, propyl acetate, isopropyl acetate, butyl acetate, isobutyl acetate, tetrahydrofuran, dioxane and methylene chloride, or mixtures thereof, preferably from methanol, isopropanol and ethyl acetate, or mixtures thereof.

5. Process according to Claim 1, characterized in that the said acid is chosen from fumaric acid, maleic acid, lactic acid, salicylic acid, succinic acid, glycolic acid, tartaric acid, acetic acid, citric acid, formic acid, benzoic acid, malonic acid, oxalic acid, hydrochloric acid, hydrobromic acid, sulphuric acid, nitric acid, perchloric acid, phosphoric acid, p-toluenesulphonic acid, methanesulphonic acid, 2-naphthalenesulphonic acid, benzenesulphonic acid and 4-chlorobenzenesulphonic acid.

6. Process according to Claim 5, characterized in that the said acid is chosen from oxalic acid, succinic acid, maleic acid, methanesulphonic acid, 4-chlorobenzenesulphonic acid and hydrochloric acid, and is preferably oxalic acid.

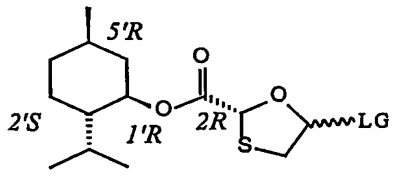
7. Process according to Claim 1, characterized in that the said salt is isolated by filtration.

8. Process according to Claim 1, which further comprises the condensation reaction between 5-fluorocytosine of formula



(III)

in suitably activated form, and the compound of formula



(VI)

in which LG represents a leaving group chosen from acetate, halo, cyano, optionally halogenated alkylsulphonates, or arylsulphonates, to give the compound of formula XIa.

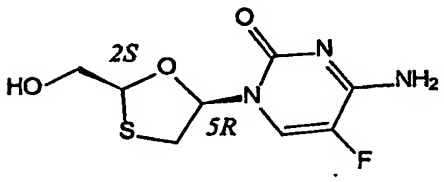
9. Process according to Claim 8, in which LG represents a leaving group chosen from acetate, chloro, bromo, iodo, methanesulphonate, triflate, tosylate and benzenesulphonate, and preferably from chloro and acetate.

10. Process according to Claim 1 or 8, which further comprises the reduction reaction of compound XIa to give emtricitabine (Ia).

11. Process according to Claim 10, characterized in that the said reduction reaction is performed by releasing in situ the base of compound XIa from its isolated salt via a basic treatment.

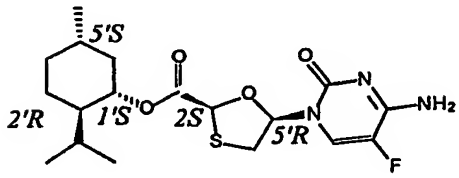
12. Use of a salt of compound XIa as an intermediate for the preparation of emtricitabine (Ia).

13. Process for preparing the compound of formula



(Ib)

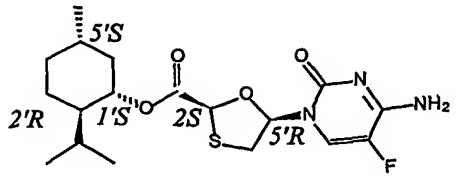
which comprises the salification reaction of the intermediate compound of formula



(XId)

dissolved in a suitable solvent, by treatment with organic or mineral acids to give the corresponding salt, which is insoluble in the said solvent, in readily isolable solid form.

which comprises the salification reaction of the intermediate compound of formula



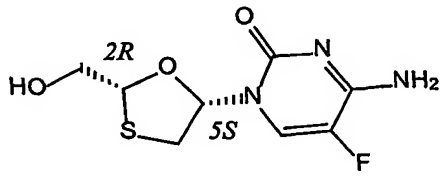
(XId)

dissolved in a suitable solvent, by treatment with organic or mineral acids to give the corresponding salt, which is insoluble in the said solvent, in readily isolable solid form.

AMENDED CLAIMS

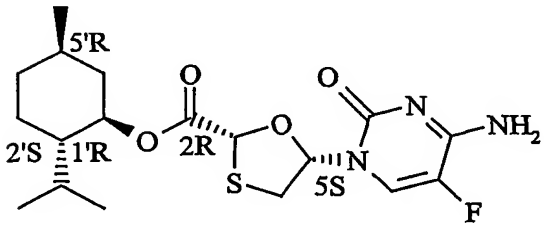
[received by the International Bureau on 27 August 2004 (27.08.2004);
original claims 1-17 replaced by new claims 1-13 (3 pages)]

1. Process for preparing emtricitabine of formula



(Ia)

which comprises the salification reaction of the intermediate compound of formula



(XIa)

dissolved in a suitable solvent, by treatment with organic or mineral acids to give the corresponding salt.

2. Process according to Claim 1, characterized in that the intermediate compound of formula XIa is dissolved in a suitable solvent by treatment with organic or mineral acids to give the corresponding salt in readily isolable solid form.

3. Process according to Claim 1, characterized in that the solvent is chosen from alcohols, hydrocarbons, esters, ethers and chlorinated solvents, or mixtures thereof.

4. Process according to Claim 3, characterized in that the solvent is chosen from methanol, ethanol, isopropanol, toluene, ethyl acetate, propyl acetate, isopropyl acetate, butyl acetate, isobutyl acetate, tetrahydrofuran, dioxane and methylene chloride, or mixtures thereof, preferably from methanol, isopropanol and ethyl acetate, or mixtures thereof.

5. Process according to Claim 1, characterized in that the said acid is chosen from fumaric acid, maleic acid, lactic acid, salicylic acid, succinic acid, glycolic acid, tartaric acid, acetic acid, citric acid, formic acid, benzoic acid, malonic acid, oxalic acid, hydrochloric acid, hydrobromic acid, sulphuric acid, nitric acid, perchloric acid, phosphoric acid, p-toluenesulphonic acid, methanesulphonic acid, 2-naphthalenesulphonic acid, benzenesulphonic acid and 4-chlorobenzenesulphonic acid.

6. Process according to Claim 5, characterized in that the said acid is chosen from oxalic acid, succinic acid, maleic acid, methanesulphonic acid, 4-chlorobenzenesulphonic acid and hydrochloric acid, and is preferably oxalic acid.

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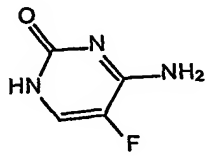
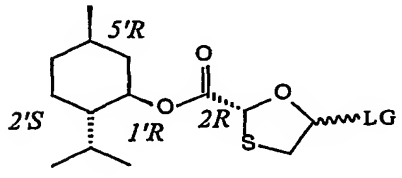
8. Process according to Claim 1, which further comprises the condensation reaction between 5-fluorocytosine of formula



(III)

in suitably activated form, and the compound of formula



(VI)

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9. Process according to Claim 8, in which LG represents a leaving group chosen from acetate, chloro, bromo, iodo, methanesulphonate, triflate, tosylate and benzenesulphonate, and preferably from chloro and acetate.

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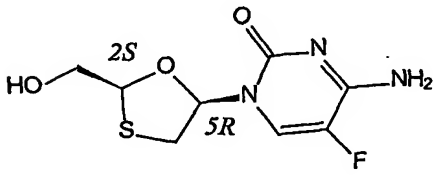
11. Process according to Claim 10, characterized in that the said reduction reaction is performed by releasing in situ the base of compound XIa from its isolated salt via a basic treatment.

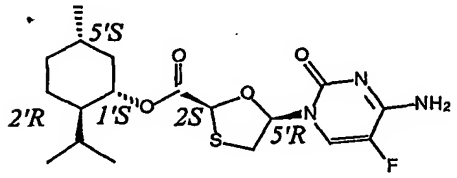
12. Use of a salt of compound XIa as an intermediate for the preparation of emtricitabine (Ia).

13. Process for preparing the compound of formula



(Ib)

which comprises the salification reaction of the intermediate compound of formula



(XId)

dissolved in a suitable solvent, by treatment with organic or mineral acids to give the corresponding salt, which is insoluble in the said solvent, in readily isolable solid form.